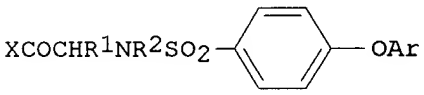
AN 130:24972 CA
TI Preparation of aryloxybenzenesulfonylhydroxycarboxamides as metalloproteinase inhibitors.
IN Bender, Steven L.
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850348	A1	19981112	WO 1998-US9389	19980508
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9872940	A1	19981127	AU 1998-72940	19980508

PRAI US 1997-45931 19970509
WO 1998-US9389 19980508
OS MARPAT 130:24972
GI

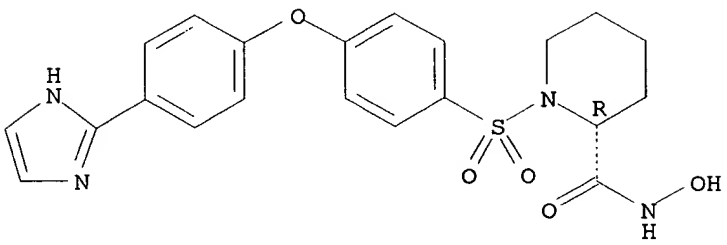


I

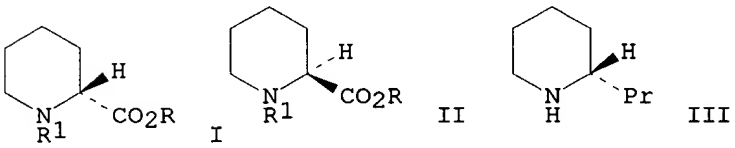
AB Title compds. [I; Ar = aryl, heteroaryl; X = NHOH, OH; R1 = H, CHR3R4, COR3, cycloalkyl, aryl, heteroaryl; R3, R5 = H, suitable substituent; R4 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; R2 = CH2R5, or R5 and R4 = (substituted) C atoms single- or double-bonded to one another], were prepd. Thus, (R)-2-pipecolic acid in CH2Cl2 was treated sequentially with Me3SiCl, Et3N, and 4-(4-bromophenoxy)benzenesulfonyl chloride (prepn. given) in CH2Cl2 to give (R)-1-[4-(4-bromophenoxy)benzenesulfonyl]piperidine-2-carboxylic acid. This in DMF was treated with N-methylmorpholine and BOP and then with NH2OH.HCl and addnl. N-methylmorpholine to give (R)-1-[4-(4-bromophenoxy)benzenesulfonyl]-N-hydroxypiperidine-2-carboxamide. The latter inhibited stromelysin with IC50 = 0.04 nM.

IT **215921-26-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryloxybenzenesulfonylhydroxycarboxamides as metalloproteinase inhibitors)
RN 215921-26-9 CA
CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-[4-(1H-imidazol-2-yl)phenoxy]phenyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemis[redacted].



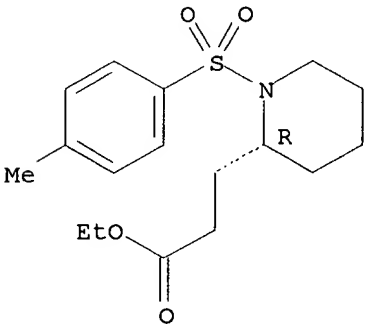
AN 85:108839 CA
TI Stereochemical studies. XL. A biomimetic conversion of L-lysine into optically active 2-substituted piperidines. Synthesis of D- and L-pipecolic acid, and (S)-(+)-coniine from L-lysine
AU Aketa, Kohichi; Terashima, Shiro; Yamada, Shunichi
CS Fac. Pharm. Sci., Univ. Tokyo, Tokyo, Japan
SO Chem. Pharm. Bull. (1976), 24(4), 621-31
CODEN: CPBTAL
DT Journal
LA English
GI



AB Deamination of L-H2N(CH2)4CH(NH2)CO2H.HCl with NaNO2 and HCl followed by base gave the pipecolic acid I (R = R1 = H) in >90% optically purity. Deamination of L-H2N(CH2)4CH(NH2)CO2H.1/2H2SO4 with NaNO2 and aq. H2SO4 followed by chlorination with SOCl2 and cyclization with aq. base gave its piperolic acid II (R = R1 = H) in .apprx.80% optically purity. Precise estimation of optically activity was detd. by isolation of I (R = Me, R1 = PhCH2O2C, p-MeC6H4SO2) and II (R = Me, R1 = PhCH2O2C). I (R = R1 = H) was converted to (S)(+)-coniine (III).

IT **60369-21-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)
RN 60369-21-3 CA
CN 2-Piperidinepropanoic acid, 1-[(4-methylphenyl)sulfonyl]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

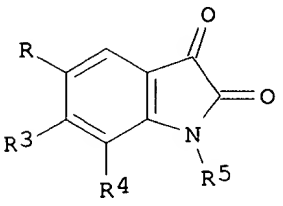


AN 125:104254 CA
TI Oxadiazoles as **bioisosteric** transformations of **carboxylic** functionalities. II
AU Andersen, K. E.; Lundt, B. F.; Joergensen, A. S.; Braestrup, C.
CS Novo Nordisk A/S, Naaloev, 2760, Den.
SO Eur. J. Med. Chem. (1996), 31(5), 417-425
CODEN: EJMCA5; ISSN: 0223-5234
DT Journal
LA English
OS CASREACT 125:104254
AB To improve the in vivo efficacy of a series of known benzodiazepine receptor (BZR) ligands, 1-(2-phenyl-4-quinolinyl)-4-piperinecarboxamides, a series of analogs has been prepd. in which the amide group of these ligands has been replaced by a 1,2,4-oxadiazole moiety or converted to other carboxylic isosters such as esters or **nitriles**. An increase in the in vivo efficacy was obsd. for some of the compds. prepd. in this investigation compared to the parent carboxamide derivs.

AN 130:182353 CA
TI Preparation of 5-sulfamoylisatins as caspase inhibitors
IN Lee, Dennis; Long, Scott A.
PA SmithKline Beecham Corporation, USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9906367	A1	19990211	WO 1998-US15935	19980730
	W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9887632	A1	19990222	AU 1998-87632	19980730

PRAI US 1997-54255 19970730
WO 1998-US15935 19980730
OS MARPAT 130:182353
GI



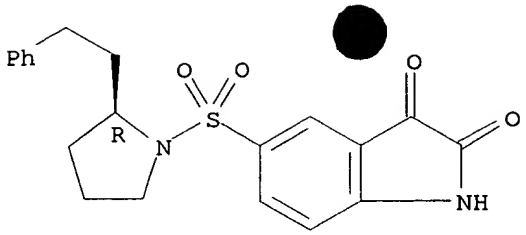
I

AB Title compds. [I; R = SO2NR1R2; R1 = H or alkyl; R2 = (cyclo)alkyl, (hetero)arylalkyl, etc.; NR1R2 = heterocyclyl; R3,R4 = H, halo, NO2, alkyl; R5 = H, alkyl, (hetero)arylalkyl] were prepd. Thus, 5-chlorosulfonylisatin was amidated by (S)-2-methoxymethylpyrrolidine to give I [R = (S)-2-methoxymethyl-1-pyrrolidinylsulfonyl, R3-R5 = H]. Data for biol. activity of I were given.

IT **220510-40-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-sulfamoylisatins as caspase inhibitors)

RN 220510-40-7 CA
CN Pyrrolidine, 1-[(2,3-dihydro-2,3-dioxo-1H-indol-5-yl)sulfonyl]-2-(2-phenylethyl)-, (2R)- (9CI) (CA INDEX NAME)

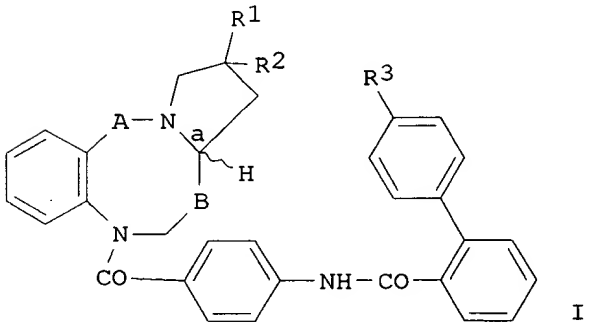
Absolute stereochemistry.


Ph
R
N
S
O
O
O
O
NH

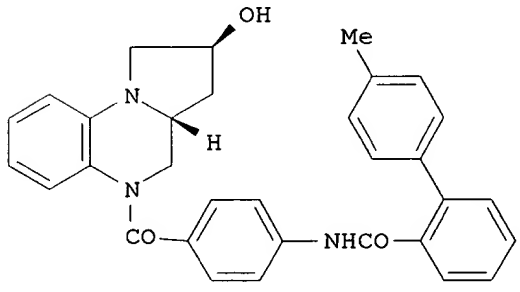
AN 129:290149 CA
TI Preparation and formulation of biphenyl moiety-containing heterocyclic compounds as vasopressin antagonists
IN Ohtake, Yasuhiro; Naito, Akira; Naito, Kenji; Matsukawa, Hidehiko; Saito, Yoshiaki; Toyofuku, Hatsunori
PA Wakamoto Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9843976	A1	19981008	WO 1997-JP4333	19971127
W: AU, BR, CA, CN, IL, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9850674	A1	19981022	AU 1998-50674	19971127

PRAI JP 1997-94460 19970331
WO 1997-JP4333 19971127
OS MARPAT 129:290149
GI



I



II

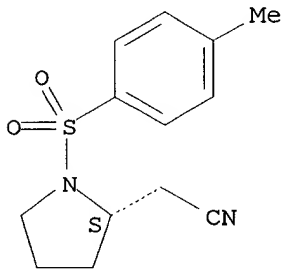
AB The title compds. I [A represents a single bond, CH2, CO, CS or SO2; B represents a single bond or CH2; R1 represents hydrogen, OH, NR11R12

(wherein R11 and R12 independently represent each hydrogen or C1-4 alkyl), OCOCH3 or halogen and R2 represents hydrogen, or R1 and R2 may form together oxo; and R3 represents hydrogen or C1-4 alkyl; the abs. configuration at the position a may be either R or S] are prepd. These compds. show low toxicity. In an in vitro test for affinity for the vasopressin V2 receptors, the pyrroloquinoxaline deriv. II showed IC50 of 0.00018 .mu.M.

IT **67488-67-9P 214144-32-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of biphenyl moiety-contg. heterocyclic compds. as vasopressin antagonists)

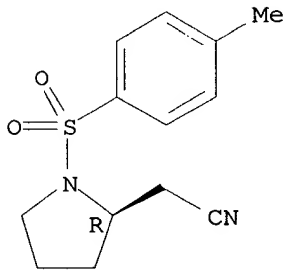
RN 67488-67-9 CA
CN 2-Pyrrolidineacetonitrile, 1-[(4-methylphenyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

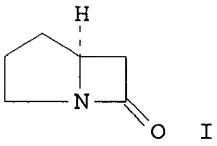


RN 214144-32-8 CA
CN 2-Pyrrolidineacetonitrile, 1-[(4-methylphenyl)sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AN 89:215152 CA
TI Synthesis and circular dichroism of (5S)-1-azabicyclo[3.2.0]heptan-7-one
AU Busson, R.; Vanderhaeghe, H.
CS Rega Inst., Univ. Leuven, Louvain, Belg.
SO J. Org. Chem. (1978), 43(23), 4438-41
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI



I

AB The title compd. I (epi-1-carbapenam) was prepd. by cyclizing (2S)-2-pyrrolidylacetic acid. The optical purity of this homoproline, obtained for the first time in an active form, was shown by two independent prepns. The CD curve of I showed a neg. Cotton effect at 231 nm with a shoulder at about 212 nm, which was compared to the CD of penicillanates.

IT **67488-67-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)
RN 67488-67-9 CA
CN 2-Pyrrolidineacetonitrile, 1-[(4-methylphenyl)sulfonyl]-, (2S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.